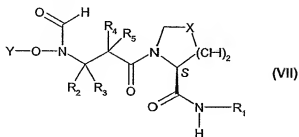


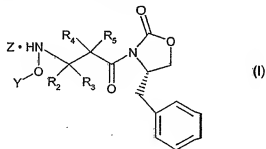
WHAT IS CLAIMED IS:

1. A process for preparing a compound of the formula (VII)

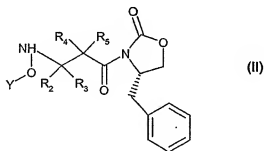


comprising Step 1A:

contacting a compound of the formula (I)

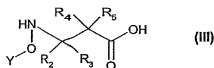


with a base in a suitable solvent to form the free base of compound (I), i.e., compound (II) of the formula (II)



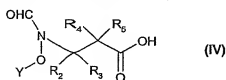
followed by Step 1B:

contacting compound (II) with a strong nucleophile/weak base in a suitable solvent under conditions to form compound (III) of the formula (III)



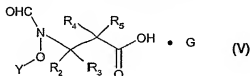
followed by Step 2A:

contacting compound (III) with a formylating agent in a suitable solvent under conditions suitable to form a compound of formula (IV)



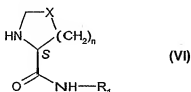
followed by Step 2B:

contacting compound (IV) with an amine or an alkaline metal hydroxide in a suitable solvent under conditions to form a compound of formula (V)



followed by Step 3:

contacting compound (V) with a compound of formula (VI)



in the presence of a suitable base and one or more coupling agents in a suitable solvent under conditions to form a compound of formula (VII)

wherein

Y is a hydroxy protecting group;

each of R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> is, independently, hydrogen or alkyl, or (R<sub>2</sub> and R<sub>3</sub>) and/or (R<sub>4</sub> and R<sub>5</sub>) collectively form a C<sub>4-7</sub> cycloalkyl;

G is  $-O^{\ominus}\text{metal}^{\oplus}$  or  $-OH\cdot\text{amine}$ ;

X is  $-\text{CH}_2-$ ,  $-\text{S}-$ ,  $-\text{CH}(\text{OH})-$ ,  $-\text{CH}(\text{OR})-$ ,  $-\text{CH}(\text{SH})-$ ,  $-\text{CH}(\text{SR})-$ ,  $-\text{CF}_2-$ ,  $-\text{C}=\text{N}(\text{OR})-$  or  $-\text{CH}(\text{F})-$ ;

R is alkyl;

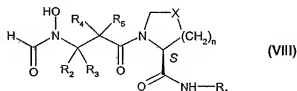
$\text{R}_1$  is aryl or heteroaryl;

Z is a strong organic or inorganic acid; and

n is 0-3, provided that when n is 0, X is  $-\text{CH}_2-$ .

2. The process of Claim 1 followed by Step 4, contacting the compound of formula VII, wherein  $\text{R}_1$  is heteroaryl having an N heteroatom, with an oxidizing agent to form the corresponding N-oxide derivative.

3. The process of Claim 2 followed by the additional step of removing the hydroxyl protecting group of compound VII to form the compound of formula VIII:



wherein  $\text{R}_1$ ,  $\text{R}_2$ ,  $\text{R}_3$ ,  $\text{R}_4$ ,  $\text{R}_5$ , X and n are as defined above.

4. The process of Claim 1, wherein

each of  $\text{R}_2$ ,  $\text{R}_3$  and  $\text{R}_5$  is hydrogen;

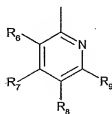
$\text{R}_4$  is butyl;

X is  $-\text{CH}_2-$ ;

n is 1;

Y is benzyl or *t*-butyldimethylsilyl; and

$\text{R}_1$  is of the formula



wherein

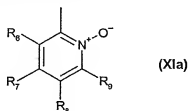
$\text{R}_6$  and  $\text{R}_9$  are hydrogen;

$R_7$  is hydrogen or  $C_{1-7}$ alkyl; and  
 $R_8$  is hydrogen, halogen or  $C_{1-7}$ alkyl.

5. The process of Claim 4,  
 wherein

$R_7$  is hydrogen; and  
 $R_8$  is fluoro.

6. The process of claim 1, wherein  $R_1$  is of the formula (XIa)



each of  $R_2$ ,  $R_3$  and  $R_5$  is hydrogen;

$R_4$  is butyl;

X is  $-CH_2-$ ;

n is 1;

Y is benzyl or t-butyl dimethylsilyl;

$R_6$  and  $R_9$  are hydrogen;

$R_7$  is hydrogen or  $C_{1-7}$ alkyl; and

$R_8$  is hydrogen, halogen or  $C_{1-7}$ alkyl.

7. The process of Claim 6 wherein  $R_8$  is halo or ethyl.
8. The process of Claim 6 wherein  $R_7$  is hydrogen and  $R_8$  is fluoro.
9. The process of Claim 1 wherein

for Step 1A the temperature is about  $10^\circ\text{C}$  to about  $40^\circ\text{C}$ , the water soluble base is sodium carbonate, sodium bicarbonate, potassium carbonate, potassium bicarbonate, or an alkaline metal hydroxide, and the solvent is water/ethyl acetate,

for Step 1B the temperature is about  $-10^{\circ}\text{C}$  to about  $10^{\circ}\text{C}$ , the strong nucleophile/weak base is lithium hydroperoxide, and the solvent is THF/water,

for Step 2A the temperature is about  $-20^{\circ}\text{C}$  to about  $20^{\circ}\text{C}$ , the formylating agent is formic acetic anhydride, and the solvent is ethyl acetate,

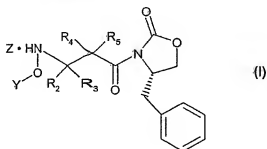
for Step 2B the temperature is about  $-5^{\circ}\text{C}$  to about  $40^{\circ}\text{C}$ , the solvent is heptane and the G substituent is of the formula  $-\text{OH}\cdot\text{amine}$  wherein the amine is dicyclohexylamine,

for Step 3 the temperature is about  $10^{\circ}\text{C}$  to about  $40^{\circ}\text{C}$  the solvent is water/ethyl acetate, and the coupling agent is EDCI/HOBt, and

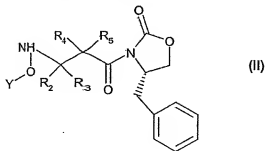
for Step 4 the temperature is about  $10^{\circ}\text{C}$  to about  $35^{\circ}\text{C}$ , the solvent is ethyl acetate and the oxidizing agent is urea/hydrogen peroxide with phthalic anhydride or magnesium monoperoxyphthalate.

10. A process comprising

contacting a compound of the formula (I)



with a base in a suitable solvent to form compound (II) of formula



wherein

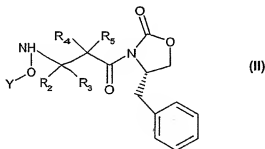
Y is a hydroxy protecting group;

each of  $R_2$ ,  $R_3$ ,  $R_4$  and  $R_5$  is, independently, hydrogen or alkyl, or ( $R_2$  and  $R_3$ ) and/or ( $R_4$  and  $R_5$ ) collectively form a  $C_{4-7}$ cycloalkyl;

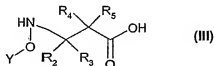
and Z is a strong organic or inorganic acid.

11. A process comprising

contacting compound (II) of the formula



with a strong nucleophile/weak base in a suitable solvent under conditions to form compound (III) of the formula



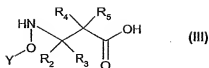
wherein

Y is a hydroxy protecting group; and

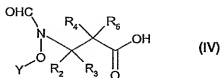
each of  $R_2$ ,  $R_3$ ,  $R_4$  and  $R_5$  is, independently, hydrogen or alkyl, or ( $R_2$  and  $R_3$ ) and/or ( $R_4$  and  $R_5$ ) collectively form a  $C_{4-7}$ cycloalkyl.

12. A process comprising

contacting compound (III) of the formula



with a formylating agent in a suitable solvent under conditions suitable to form a compound of formula (IV)



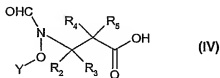
wherein

Y is a hydroxy protecting group; and

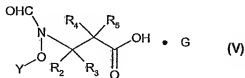
each of R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub> and R<sub>5</sub> is, independently, hydrogen or alkyl, or (R<sub>2</sub> and R<sub>3</sub>) and/or (R<sub>4</sub> and R<sub>5</sub>) collectively form a C<sub>4-7</sub>cycloalkyl.

13. A process comprising

contacting compound (IV) of the formula



with an amine or an alkaline metal hydroxide in a suitable solvent under conditions to form a compound of formula (V).



wherein

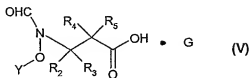
Y is a hydroxy protecting group;

each of  $R_2$ ,  $R_3$ ,  $R_4$  and  $R_5$  is, independently, hydrogen or alkyl, or ( $R_2$  and  $R_3$ ) and/or ( $R_4$  and  $R_5$ ) collectively form a  $C_{4-7}$ cycloalkyl; and

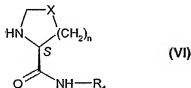
G is  $-O^{\ominus}\text{metal}^{\oplus}$  or  $-OH\cdot\text{amine}$ .

14. A process comprising

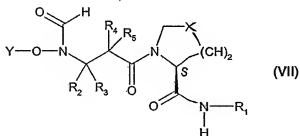
contacting compound (V) of the formula



with a compound of formula (VI)



in the presence of a suitable base and one or more coupling agents in a suitable solvent under conditions to form a compound of formula (VII)



wherein

Y is a hydroxy protecting group;

each of  $R_2$ ,  $R_3$ ,  $R_4$  and  $R_5$  is, independently, hydrogen or alkyl, or ( $R_2$  and  $R_3$ ) and/or ( $R_4$  and  $R_5$ ) collectively form a  $C_{4-7}$ cycloalkyl;

G is  $-O^{\ominus}\text{metal}^{\oplus}$  or  $-OH\cdot\text{amine}$ ;



X is  $-\text{CH}_2-$ ,  $-\text{S}-$ ,  $-\text{CH}(\text{OH})-$ ,  $-\text{CH}(\text{OR})-$ ,  $-\text{CH}(\text{SH})-$ ,  $-\text{CH}(\text{SR})-$ ,  $-\text{CF}_2-$ ,  $-\text{C}=\text{N}(\text{OR})-$  or  $-\text{CH}(\text{F})-$ ;

R is alkyl;

$\text{R}_1$  is aryl or heteroaryl; and

n is 0-3, provided that when n is 0, X is  $-\text{CH}_2-$ .